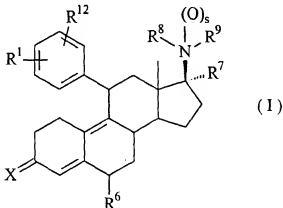


WHAT IS CLAIMED AS NEW AND DESIRED TO BE SECURED BY LETTERS  
PATENT OF THE UNITED STATES IS:

1. A hormonal or antihormonal steroid compound of structure I,

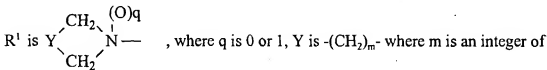
5



wherein

R<sup>1</sup> is (R<sup>2</sup> R<sup>3</sup> N(O))<sub>r</sub>-, where r is 0 or 1 and R<sup>2</sup> and R<sup>3</sup> are each independently H, C<sub>1-6</sub> alkyl, C<sub>3-8</sub> cycloalkyl, C<sub>2-6</sub> alkenyl or C<sub>2-6</sub> alkynyl, any of which may be optionally substituted;

or

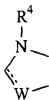


0 to 5, or Y is  $-(CH_2)_n-Z-(CH_2)_p-$  where n is an integer of 0 to 2, p is an integer of 0 to 2, and Z is a heteroatom (optionally substituted) and where any of the  $CH_2$  groups may be optionally substituted; or

R<sup>1</sup> is N-imidazolyl-, N-pyrrolyl-, H, halo-, HO-, CF<sub>3</sub>SO<sub>2</sub>O-, C<sub>1-6</sub> alkyl-O-, C<sub>1-6</sub> alkyl-S-, C<sub>1-6</sub> alkyl-S(O)-, C<sub>1-6</sub> alkyl-S(O)<sub>2</sub>-, C<sub>1-6</sub> alkyl-CO-, C<sub>1-6</sub> alkyl-CH(OH)-, NC-, HCC-, C<sub>6</sub>H<sub>5</sub>CC-, 2'-furyl, 3'-furyl, 2'-thiophenyl, 3'-thiophenyl, 2'-pyridyl, 3'-pyridyl, 4'-pyridyl, 2'-thiazolyl, 2'-N-methylimidazolyl, 5'-pyrimidinyl, C<sub>6</sub>H<sub>7</sub>-, H<sub>2</sub>C=CH-, C<sub>1-6</sub> alkyl, or MeC(=CH<sub>2</sub>)-

$R^{12}$  is H or halo; or

R<sup>1</sup> and R<sup>12</sup> combine to form a ring



where W is CH<sub>2</sub>, CH, NH, N, O, or S, and R<sup>4</sup> is H or C<sub>1-6</sub> alkyl;

X is O or NOR<sup>5</sup>, where R<sup>5</sup> is H or C<sub>1-6</sub> alkyl, C<sub>3-8</sub> cycloalkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl,

C<sub>6-12</sub> aryl, or heteroaryl, any of which may be optionally substituted; or

X is (H, H), (H, OH), (H, OSi(C<sub>1-6</sub> alkyl)<sub>3</sub>), or (H, OCOR<sup>5</sup>), where R<sup>5</sup> is C<sub>1-6</sub> alkyl,

C<sub>3-8</sub> cycloalkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, C<sub>6-12</sub> aryl, aralkyl, aralkenyl, aralkynyl, heteroaryl,

heteroaralkyl, heteroaralkenyl or heteroaralkynyl, any of which may be optionally

substituted; or

X is  $\begin{matrix} \text{CH}_2\text{O}— \\ \diagup \\ \text{Y} \\ \diagdown \\ \text{CH}_2\text{O}— \end{matrix}$ , where Y is -(CH<sub>2</sub>)<sub>m</sub>- where m is an integer of 0 to 3, or Y is -  
(CH<sub>2</sub>)<sub>n</sub>-Z-(CH<sub>2</sub>)<sub>p</sub>- where n is an integer of 0 to 2, p is an integer of 0 to 2 and Z is a

heteroatom (optionally substituted) or Z is a carbon atom substituted with one or two C<sub>1-6</sub> alkyl groups;

R<sup>6</sup> is H, C<sub>1-6</sub> alkyl or halogen;

R<sup>7</sup> is H, C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, C<sub>3-8</sub> cycloalkyl, C<sub>6-12</sub> aryl, aralkyl, aralkenyl, aralkynyl, heteroaryl, heteroaralkyl, heteroaralkenyl or heteroaralkynyl, any of

which may be optionally substituted, CN, COOR<sup>10</sup> or CONHR<sup>10</sup>, where R<sup>10</sup> is H, C<sub>1-18</sub> alkyl,

C<sub>2-18</sub> alkenyl, C<sub>2-18</sub> alkynyl, C<sub>3-8</sub> cycloalkyl, C<sub>6-12</sub> aryl, aralkyl, aralkenyl, aralkynyl, heteroaryl, heteroaralkyl, heteroaralkenyl or heteroaralkynyl, any of which may be optionally substituted;

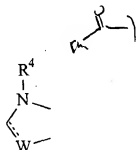


$$R^1 \text{ is } Y \begin{array}{c} \text{CH}_2 \text{---} \text{N} \text{---} \text{(O)}_q \\ \text{CH}_2 \end{array}$$
 , where q is 0 or 1, Y is  $-(CH_2)_m-$  where m is an integer of 0 to 5, or Y is  $-(CH_2)_n-Z-(CH_2)_p-$  where n is an integer of 0 to 2, p is an integer of 0 to 2, and Z is a heteroatom (optionally substituted) and where any of the  $CH_2$  groups may be optionally substituted; or

$R^1$  is N-imidazolyl-, N-pyrrolyl-, halo-, HO-,  $CF_3SO_2O-$ ,  $C_{1-6}$  alkyl-O-,  $C_{1-6}$  alkyl-S-,  $C_{1-6}$  alkyl-S(O)-,  $C_{1-6}$  alkyl-S(O)<sub>2</sub>-,  $C_{1-6}$  alkyl-CO-,  $C_{1-6}$  alkyl-CH(OH)-, NC-, HCC-,  $C_6H_5CC-$ , 2'-furyl, 3'-furyl, 2'-thiophenyl, 3'-thiophenyl, 2'-pyridyl, 3'-pyridyl, 4'-pyridyl, 2'-thiazolyl, 2'-N-methylimidazolyl, 5'-pyrimidinyl,  $C_6H_5-$ ,  $H_2C=CH-$ ,  $C_{1-6}$  alkyl, or  $MeC(=CH_2)-$ ;

$R^{12}$  is H or halo; or

$R^1$  and  $R^{12}$  combine to form a ring



where W is  $CH_2$ , CH, NH, N, O, or S, and  $R^4$  is H or  $C_{1-6}$  alkyl;

$X$  is O or  $NOR^5$ , where  $R^5$  is H or  $C_{1-6}$  alkyl,  $C_{3-8}$  cycloalkyl,  $C_{2-6}$  alkenyl,  $C_{2-6}$  alkynyl,  $C_{6-12}$  aryl, or heteroaryl, any of which may be optionally substituted; or

$X$  is (H, H), (H, OH), (H,  $OSi(C_{1-6} \text{ alkyl})_3$ ), or (H,  $OCOR^5$ ), where  $R^5$  is  $C_{1-6}$  alkyl,  $C_{3-8}$  cycloalkyl,  $C_{2-6}$  alkenyl,  $C_{2-6}$  alkynyl,  $C_{6-12}$  aryl, aralkyl, aralkenyl, aralkynyl, heteroaryl, heteroaralkyl, heteroaralkenyl or heteroaralkynyl, any of which may be optionally

substituted; or

$$X \text{ is } Y \begin{array}{c} \text{CH}_2O \text{---} \\ \text{CH}_2O \text{---} \end{array}$$
 , where Y is  $-(CH_2)_m-$  where m is an integer of 0 to 3, or Y is  $-(CH_2)_n-Z-(CH_2)_p-$  where n is an integer of 0 to 2, p is an integer of 0 to 2 and Z is a heteroatom (optionally substituted) or Z is a carbon atom substituted with one or two  $C_{1-6}$

alkyl groups;

R<sup>6</sup> is H, C<sub>1-6</sub> alkyl or halogen;

s is 0 or 1;

R<sup>9</sup> is H, C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl or C<sub>2-6</sub> alkynyl, R<sup>10</sup>CO, OR<sup>11</sup>, any of which may be

5 optionally substituted,

where R<sup>10</sup> is H, C<sub>1-18</sub> alkyl, C<sub>2-18</sub> alkenyl, C<sub>2-18</sub> alkynyl, C<sub>3-8</sub> cycloalkyl, C<sub>6-12</sub> aryl, aralkyl, aralkenyl, aralkynyl, heteroaryl, heteroaralkyl, heteroaralkenyl or heteroaralkynyl any of which may be optionally substituted, and

where R<sup>11</sup> is H, C<sub>1-6</sub> alkyl, Si(C<sub>1-6</sub> alkyl)<sub>3</sub>, 2'-tetrahydropyranyl or R<sup>10</sup>CO where R<sup>10</sup> is  
10 as defined above;

R<sup>13</sup> and R<sup>14</sup> are each independently H, C<sub>1-18</sub> alkyl, C<sub>2-18</sub> alkenyl, C<sub>2-18</sub> alkynyl, C<sub>3-8</sub> cycloalkyl, C<sub>6-12</sub> aryl, aralkyl, aralkenyl or aralkynyl, heteroaryl, heteroaralkyl, heteroaralkenyl or heteroaralkynyl, any of which may be optionally substituted; or  
R<sup>13</sup> R<sup>14</sup> is O, and

15 R<sup>15</sup> and R<sup>16</sup> are each H or combine to form a group =CH<sub>2</sub>, optionally substituted, and pharmaceutically acceptable salts thereof.

3. The steroid having structure I of claim 1 wherein

R<sup>1</sup>-Ph is 4-aminophenyl, 4-(N-methylamino)phenyl, 4-(N,N-dimethylamino)phenyl, 4-(N-piperidino)phenyl, 4-(N-pyrrolidino)phenyl, 4-(N-morpholino)phenyl, 1-methylindol-5-yl or 1-m or ethyl-2,3-dihydroindol-5-yl or R<sup>1</sup>-Ph is the N-oxide of 4-(N,N-dimethyl)phenyl,  
20 4-(N-piperidino)phenyl, 4-(N-pyrrolidino)phenyl, 4-(N-morpholino)phenyl;

X is O, NOH, or NOCH<sub>3</sub>;

R<sup>6</sup> is H, CH<sub>3</sub>, F or Cl;

R<sup>7</sup> is H, methyl, ethynyl, 1-propynyl, 3-propynyl, 3-hydroxypropyl, 3-hydroxy-1-propenyl (*E*- or *Z*-), 3,3,3-trifluoropropyn-1-yl, 3-hydroxypropyn-1-yl, (CH<sub>2</sub>)<sub>2</sub>COOCH<sub>3</sub>, (CH<sub>2</sub>)<sub>2</sub>COOC<sub>2</sub>H<sub>5</sub>, (CH<sub>2</sub>)<sub>2</sub>COCH<sub>3</sub>, CC-C<sub>6</sub>H<sub>5</sub>, CH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>, CN, or COOCH<sub>3</sub>;

R<sup>8</sup> is H, CH<sub>3</sub>, or CH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>; and

R<sup>9</sup> is H, OH, OCH<sub>3</sub>, CHO, CH<sub>3</sub>CO, C<sub>6</sub>H<sub>5</sub>CO or C<sub>6</sub>H<sub>5</sub>CH<sub>2</sub>CO.

4. The steroid of Claim 2, wherein

R<sup>1</sup>-Ph is 4-aminophenyl, 4-(N-methylamino)phenyl, 4-(N,N-dimethylamino)phenyl, 4-(N-piperidino)phenyl, 4-(N-pyrrolidino)phenyl, 4-(N-morpholino)phenyl, 1-methylindol-5-yl or 1-methyl-2,3-dihydroindol-5-yl;

X is O, NOH, or NOCH<sub>3</sub>;

R<sup>6</sup> is H, CH<sub>3</sub>, F or Cl;

R<sup>9</sup> is H, OH, CHO, CH<sub>3</sub>CO, C<sub>6</sub>H<sub>5</sub>CO or C<sub>6</sub>H<sub>5</sub>CH<sub>2</sub>CO;

R<sup>13</sup> and R<sup>14</sup> are O, (H, H), (H, CH<sub>3</sub>) or (CH<sub>3</sub>, CH<sub>3</sub>); and

R<sup>15</sup> and R<sup>16</sup> are (H, H) or R<sup>15</sup> R<sup>16</sup> is (=CH<sub>2</sub>).

5. The steroid of Claim 1 selected from the group consisting of:

11β-(4-(N,N-dimethylamino)phenyl)-17β-(N-hydroxylamino)-17α-(1-propynyl)-estra-4,9-dien-3-one, 11β-(4-(N-piperidino)phenyl)-17β-(N-hydroxylamino)-17α-(1-propynyl)-estra-4,9-dien-3-one, 11β-(4-(N,N-dimethylamino)phenyl)-17β-(N-hydroxy-N-methylamino)-17α-(1-propynyl)estra-4,9-dien-3-one, 11β-(4-(N-piperidino)phenyl)-17β-(N-hydroxy-N-methylamino)-17α-(1-propynyl)estra-4,9-dien-3-one, 17β-amino-11β-(4-(N,N-dimethylamino)phenyl)-17α-(1-propynyl)estra-4,9-dien-3-one, 17β-amino-11β-(4-(N-piperidino)phenyl)-17α-(1-propynyl)estra-4,9-dien-3-one, 17β-(N-acetamido)-11β-(4-(N,N-dimethylamino)phenyl)-17α-(1-propynyl)estra-4,9-dien-3-one, 17β-(N-acetamido)-11β-(4-

(N-piperidino)phenyl)-17 $\alpha$ -(1-propynyl)estra-4,9-dien-3-one, 11 $\beta$ -(4-(N,N-dimethylamino)phenyl)-17 $\beta$ -(N-formamido)-17 $\alpha$ -(1-propynyl)estra-4,9-dien-3-one and its N-oxide, 17 $\beta$ -(N-formamido)-11 $\beta$ -(4-(N-piperidino)phenyl)-17 $\alpha$ -(1-propynyl)estra-4,9-dien-3-one and its N-oxide, 11 $\beta$ -(4-(N,N-dimethylamino)phenyl)-17 $\beta$ -(N-hydroxylamino)-17 $\alpha$ -(3-hydroxypropyl)-estra-4,9-dien-3-one, 11 $\beta$ -(4-(N-piperidino)phenyl)-17 $\beta$ -(N-hydroxylamino)-17 $\alpha$ -(3-hydroxypropyl)-estra-4,9-dien-3-one, 11 $\beta$ -(4-(N,N-dimethylamino)phenyl)-17 $\beta$ -(N-hydroxy-N-methylamino)-17 $\alpha$ -(3-hydroxypropyl)estra-4,9-dien-3-one, 11 $\beta$ -(4-(N-piperidino)phenyl)-17 $\beta$ -(N-hydroxy-N-methylamino)-17 $\alpha$ -(3-hydroxypropyl)estra-4,9-dien-3-one, 17 $\beta$ -amino-11 $\beta$ -(4-(N,N-dimethylamino)phenyl)-17 $\alpha$ -(3-hydroxypropyl)estra-4,9-dien-3-one, 17 $\beta$ -amino-17 $\alpha$ -(3-hydroxypropyl)-11 $\beta$ -(4-(N-piperidino)phenyl)estra-4,9-dien-3-one, 17 $\beta$ -(N-acetamido)-11 $\beta$ -(4-(N,N-dimethylamino)phenyl)-17 $\alpha$ -(3-hydroxypropyl)estra-4,9-dien-3-one, 17 $\beta$ -(N-acetamido)-17 $\alpha$ -(3-hydroxypropyl)-11 $\beta$ -(4-(N-piperidino)phenyl)estra-4,9-dien-3-one, 11 $\beta$ -(4-(N,N-dimethylamino)phenyl)-17 $\beta$ -(N-formamido)-17 $\alpha$ -(3-hydroxypropyl)estra-4,9-dien-3-one and 17 $\beta$ -(N-formamido)-17 $\alpha$ -(3-hydroxypropyl)-11 $\beta$ -(4-(N-piperidino)phenyl)estra-4,9-dien-3-one, 11 $\beta$ -(4-(N,N-dimethylamino)phenyl)-17 $\beta$ -(N-formamido)-17 $\alpha$ -(3-formyloxy-1-propyl)estra-4,9-dien-3-one and 17 $\beta$ -(N-formamido)-17 $\alpha$ -(3-formyloxy-1-propyl)-11 $\beta$ -(4-(N-piperidino)phenyl)estra-4,9-dien-3-one.

6. The steroid of Claim 2, selected from the group consisting of

11 $\beta$ -(4-(N,N-dimethylamino)phenyl)-1'-hydroxy-5'-methyl-spiro[estra-4,9-dien-17 $\beta$ ,2'-pyrrolidine]-3-one, 11 $\beta$ -(4-(N-piperidino)phenyl)-1'-hydroxy-5'-methyl-spiro[estra-4,9-dien-17 $\beta$ ,2'-pyrrolidine]-3-one, 11 $\beta$ -(4-(N,N-dimethylamino)phenyl)-1'-hydroxy-spiro[estra-4,9-dien-17 $\beta$ ,2'-pyrrolidine]-3-one, 11 $\beta$ -(4-(N-piperidino)phenyl)-1'-hydroxy-spiro[estra-4,9-

dien-17 $\beta$ ,2'-pyrrolidine]-3-one, 11 $\beta$ -(4-(N,N-dimethylamino)phenyl)-5'-methyl-spiro[estra-4,9-dien-17 $\beta$ ,2'-pyrrolidine]-3-one, 11 $\beta$ -(4-(N-piperidino)phenyl)-5'-methyl-spiro[estra-4,9-dien-17 $\beta$ ,2'-pyrrolidine]-3-one, 11 $\beta$ -(4-(N,N-dimethylamino)phenyl)-spiro[estra-4,9-dien-17 $\beta$ ,2'-pyrrolidine]-3-one, 11 $\beta$ -(4-(N-piperidino)phenyl)-spiro[estra-4,9-dien-17 $\beta$ ,2'-pyrrolidine]-3-one, 11 $\beta$ -(4-(N,N-dimethylamino)phenyl)-5'-oxo-spiro[estra-4,9-dien-17 $\beta$ ,2'-pyrrolidine]-3-one, 11 $\beta$ -(4-(N-piperidino)phenyl)-5'-oxo-spiro[estra-4,9-dien-17 $\beta$ ,2'-pyrrolidine]-3-one, 11 $\beta$ -(4-(N,N-dimethylamino)phenyl)-1'-formyl-spiro[estra-4,9-dien-17 $\beta$ ,2'-pyrrolidine]-3-one and 11 $\beta$ -(4-(N-piperidino)phenyl)-1'-formyl-spiro[estra-4,9-dien-17 $\beta$ ,2'-pyrrolidine]-3-one.

7. A method of therapeutically treating the activity of progesterone comprising administering a therapeutically effective amount of the compound of Claim 1, to a patient in need thereof for a therapeutic purpose.

8. The method of claim 7, wherein said therapeutic purpose is the treatment of endometriosis or uterine fibroids.

9. The method of claim 7, wherein said therapeutic purpose is cervical ripening preparatory to labor and delivery of offspring.

10. The method of claim 7, wherein said therapeutic purpose is the control or regulation of fertility.

11. The method of claim 7, wherein said therapeutic purpose is the treatment of tumors or cancers.

12. The method of claim 7, wherein said therapeutic purpose is hormone replacement therapy.

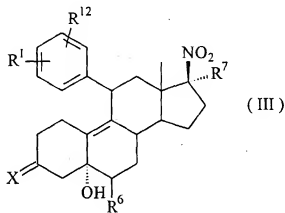
13. A method of therapeutically treating the activity of progesterone comprising

administering a therapeutically effective amount of the compound of Claim 2, to a patient in need thereof for a therapeutic purpose.

14. A method of preparing the compound of Claim 1, comprising:

i) treating a compound of structure (III) by reduction of the nitro group, followed by

hydrolysis of X and elimination of the hydroxyl group



wherein

$R^1$  is  $(R^2 R^3 N(O)_r)_-$ , where  $r$  is 0 or 1 and  $R^2$  and  $R^3$  are each independently H,  $C_{1-6}$  alkyl,  $C_{3-8}$  cycloalkyl,  $C_{2-6}$  alkenyl or  $C_{2-6}$  alkynyl, any of which may be optionally substituted;

or

$R^1$  is  $Y-\begin{array}{c} \text{CH}_2 \\ \diagup \quad \diagdown \\ \text{N} \quad \text{(O)}_q \\ \diagdown \quad \diagup \\ \text{CH}_2 \end{array}-$ , where  $q$  is 0 or 1,  $Y$  is  $-(CH_2)_m-$  where  $m$  is an integer of

0 to 5, or  $Y$  is  $-(CH_2)_n-Z-(CH_2)_p-$  where  $n$  is an integer of 0 to 2,  $p$  is an integer of

0 to 2, and  $Z$  is a heteroatom (optionally substituted) and where any of the  $CH_2$  groups may

be optionally substituted; or

$R^1$  is N-imidazolyl-, N-pyrrolyl-, H, halo-, HO-,  $CF_3SO_2O-$ ,  $C_{1-6}$  alkyl-O-,  $C_{1-6}$  alkyl-S-

,  $C_{1-6}$  alkyl-S(O)-,  $C_{1-6}$  alkyl-S(O)<sub>2</sub>-,  $C_{1-6}$  alkyl-CO-,  $C_{1-6}$  alkyl-CH(OH)-, NC-, HCC-,  $C_6H_5CC-$

, 2'-furyl, 3'-furyl, 2'-thiophenyl, 3'-thiophenyl, 2'-pyridyl, 3'-pyridyl, 4'-pyridyl, 2'-

thiazolyl, 2'-N-methylimidazolyl, 5'-pyrimidinyl,  $C_6H_5-$ ,  $H_2C=CH-$ ,  $C_{1-6}$  alkyl, or

$MeC(=CH_2)-$ ;

R<sup>12</sup> is H or halo; or

R<sup>1</sup> and R<sup>12</sup> combine to form a ring



5 where W is CH<sub>2</sub>, CH, NH, N, O, or S, and R<sup>4</sup> is H or C<sub>1-6</sub> alkyl;

X is  $\begin{array}{c} \text{CH}_2\text{O}— \\ | \\ \text{Y} \\ | \\ \text{CH}_2\text{O}— \end{array}$ , where Y is -(CH<sub>2</sub>)<sub>m</sub>- where m is an integer of 0 to 3, or Y is -  
(CH<sub>2</sub>)<sub>n</sub>-Z-(CH<sub>2</sub>)<sub>p</sub>- where n is an integer of 0 to 2, p is an integer of 0 to 2 and Z is a  
heteroatom (optionally substituted) or Z is a carbon atom substituted with one or two C<sub>1-6</sub>  
alkyl groups;

10 R<sup>6</sup> is H, C<sub>1-6</sub> alkyl or halogen;

R<sup>7</sup> is H, C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, C<sub>3-8</sub> cycloalkyl, C<sub>6-12</sub> aryl, aralkyl,  
aralkenyl, aralkynyl, heteroaryl, heteroaralkyl, heteroaralkenyl or heteroaralkynyl, any of  
which may be optionally substituted, CN, COOR<sup>10</sup> or CONHR<sup>10</sup>, where R<sup>10</sup> is H, C<sub>1-18</sub> alkyl,  
C<sub>2-18</sub> alkenyl, C<sub>2-18</sub> alkynyl, C<sub>3-8</sub> cycloalkyl, C<sub>6-12</sub> aryl, aralkyl, aralkenyl, aralkynyl, heteroaryl,  
15 heteroaralkyl, heteroaralkenyl or heteroaralkynyl, any of which may be optionally substituted.

15. A method of therapeutically treating the activity of progesterone comprising  
administering a therapeutically effective amount of the compound of Claim 2, to a patient in  
need thereof for a therapeutic purpose.

16. The method of Claim 7, further comprising administering one or more  
20 pharmacologically active compounds.

17. The method of Claim 15, further comprising administering one or more  
pharmacologically active compounds.